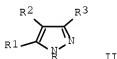


TITLE: Preparation of novel acid pyrazoles and pyrazolones as endothelin receptor antagonists
 INVENTOR(S): Fortin, Michel; Zhang, Jidong
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 9612706 | A1 | 19960502 | WO 1995-FR1386 | 19951020 |
| W: AU, BR, CA, CN, FI, HU, JP, KR, MX, RU, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| FR 2725988 | A1 | 19960426 | FR 1994-12676 | 19941024 |
| FR 2725988 | B1 | 19970124 | | |
| AU 9538085 | A | 19960515 | AU 1995-38085 | 19951020 |
| ZA 9508995 | A | 19961024 | ZA 1995-8995 | 19951024 |
| PRIORITY APPLN. INFO.: | | | FR 1994-12676 | A 19941024 |
| | | | WO 1995-FR1386 | W 19951020 |

OTHER SOURCE(S): MARPAT 125:114608
 GI

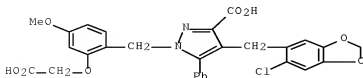


AB Title acid pyrazoles and pyrazolones, e.g. I [R = hydrogen, (un)substituted alkyl, aryl, arylalkyl or alkylaryl, R1-R3 are keto, alkyl, ketoalkyl, alkoxy, aryloxy, alkylthio, arylthio, or one of R1-R3 is hydrogen, and all the possible isomeric forms], are disclosed. Thus, 3-butyl-4-[(6-chloro-1,3-benzodioxol-5-yl)-1-[(3-methoxyphenyl)methyl]-1H-pyrazole-5-carboxylic acid] was prepared and tested as endothelin receptor B (CI50 = 47 nmol).

IT 179109-28-5P 179109-33-2P
 RL: IMF (Industrial manufacture); PREP (Preparation)
 (preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

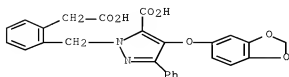
RN 179109-28-5 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl)methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-5-phenyl- (CA INDEX NAME)



RN 179109-33-2 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 4-(1,3-benzodioxol-5-yloxy)-1-[[2-(carboxymethyl)phenyl]methyl]-3-phenyl- (CA INDEX NAME)

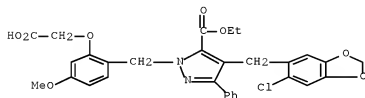


IT 179110-55-5P 179110-56-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

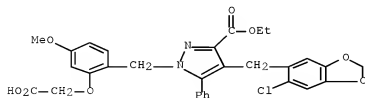
RN 179110-55-5 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-, 5-ethyl ester (CA INDEX NAME)



RN 179110-56-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-5-phenyl-, 3-ethyl ester (CA INDEX NAME)



IT 179109-27-4P

RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

RN 179109-27-4 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl)methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-
(CA INDEX NAME)

